# New 5-m mb red h terocyclic compounds

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Equivalents:

## Abstract

Heterocyclic compounds (I) comprising 5 units, X1-X5, linked to form a ring, and their tautomers, stereoisomers and salts, are new. One of X1-X5 is Z(-BA); another of X1-X5 = Z(-D-E-F'-COORb); a third = S, -NH-, -N(R4)-, -C(R7)-, -C(R7)2= or N; a fourth is O, S, N or -C(R7)=; and a fifth is N, -C(R7)- or -C(R7)2=; or two adjacent groups X1-X5 form an o-phenylene group; Z = -N-, -CH- or -C=; A = A', pyridyl or quinuclidinyl; A' = 5-7C cycloalkyl (optionally substituted by 1-4 alkyl) in which one unsubstituted methylene group is replaced by N(Ra) group, (optionally substituted by CN, CONH2, COOH, alkoxycarbonyl or phenylalkoxycarbony and also, when the substitution is not in the "u-position" to an N atom, by OH, alkoxy or phenylalkoxy); the resulting azacycloalkyl may have a CH unit in the 4 position replaced by N and the resulting 5- to 7-membered azacycloalkyl group may have a CH2-CH unit replaced by CH=C, and the resulting piperazinyl or homopiperazinyl may have one or both CH2 adjacent to the N atom in the 4 position replaced by carbonyl; B = 1-8C alkylene, 2-3C alkenylene, O(CH2)n, (CH2)nO, S(CH2)n, (CH2)nS, CO-N(R3), N(R3)-CO, N(R3)-(CH2)n or (CH2)n-N(R3), provided that an O, S or N atom of B is not directly bonded to an N atom of A or the 5-membered heterocycle; Ra = H, alkyl, phenylalkyl, 2-6C alkoxycarbonyl, phenylalkoxycarbonyl, 4-6C alkenyloxycarbonyl, 6-8C cycloalkoxycarbonyl or COOCH(R2)OCOR1; R1 = 1-5C alkyl, 5-7C cycloalkyl, phenylalkyl, 1-5C alkoxy, 5-7C cycloalkoxy or phenyl; R2 = H, 1-4C alkyl, 5-7C cycloalkyl or phenyl; n = 1 or 2; R3 = H, alkyl, phenylalkyl or pyridylalkyl; D = CO, W-CO, CO-W, CO-NR3, NR3-CO, SO2-NR3, NR3-SO2, W-CO-NR3, W1-NR3-CO, W1-SO2-NR3, W1-NR3-SO2, CO-NR3-W1, NR3-CO-W1, SO2-NR3-W1, NR3-SO2-W1, CO-(CH2)n-O or CO-(CH2)n-NR3, provided that these groups are not bonded through a CO or SO2 group to an N atom of the 5-membered heterocycle; W1 = 1-3C alkylene; W = 1-3C alkylene or 2-3C alkenylene; F' = 1-5C alkylene or 2-5C alkenylene (both optionally substituted by phenylalkyl, phenyl, pyridyl, OR3, SR3, N(R3)(R3), COOR3, NR3COR4, NR3COOR5, NR3SO2R4 or NR3CONR3R3), bond or Y-W1; E = divalent pyridine, pyrimidine, pyrazine, pyridazine or triazine (optionally C-substituted by Cl, alkyl or alkoxy, optionally with one or two CH=N replaced by CO-NR3 and optionally with an N bonded to F' instead of to R3 when F' is not a direct bond), phenylene (optionally substituted by 1-2 F, Cl, Br, alkyl, CF3, OR3 and OCH2COOR3), 4-5C cycloalkylene (optionally substituted by alkyl, phenylalkyl or phenyl, and optionally with a CH replaced by N and a CH2 adjacent to N replaced by CO) or 6-7C cycloalkylene (optionally substituted by an alkyl, phenylalkyl or phenyl group and in which one or two CH units may be replaced by N and a CH2 group adjacent to N replaced by CO); R4 = 1-5C alkyl, phenylalkyl, phenyl or pyridyl; R5 = 1-5C alkyl or phenylalkyl; Y = O, CO, S, SO, SO2, NR3, N(COR4), N

(SO2R4), CO-NR3 or NR3-CO provided that a heteroatom of E is not bonded to an N or S of Y; Rb = 1-5C alkyl, 3-5C alkenyl, phenylalkyl, 5-7C cycloalkyl, (5-7C cycloalkyl)alkyl or CH(R2)OCOR1, or also H if COORb is not bonded directly to an N atom of E; the distance between COORb and the remotest N of A comprises at least 11 bonds and that the -B-A and -D-E-F'-COORb groups are in the 1,3 position to each other; R7 = H, alkyl, phenylalkyl or phenyl; and alkyl, alkylene and alkoxy groups contain 1-3 C atoms unless otherwise stated.

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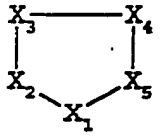
(54) 5-gliedrige Heterocyclen, diese Verbindungen enthaltende Arzneimittel und deren Verwendung sowie Verfahren zu ihrer Herstellung

(57) Die vorliegende Erfindung betrifft 5gliedrige Heterocyclen der allgemeinen Formel



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In der
$X_1$ bis $X_5$ wie Anspruch 1 definiert sind, deren Tautomere, deren Stereoisomere, einschließlich ihrer Gemische, und deren Salze, insbesondere deren Salze mit physiologisch verträglichen Säuren oder Basen, welche wertvolle pharmakologische Eigenschaften aufweisen, vorzugsweise aggregationshemmende Wirkungen, diese Verbindungen enthaltende Arzneimittel und deren Verwendung sowie Verfahren zu ihrer Herstellung.

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